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0.21

0.21

FILE 'REGISTRY' ENTERED AT 09:11:51 ON 21 JUL 2006

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STRUCTURE FILE UPDATES: 19 JUL 2006 HIGHEST RN 894691-89-5 DICTIONARY FILE UPDATES: 19 JUL 2006 HIGHEST RN 894691-89-5

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http://www.cas.org/ONLINE/UG/regprops.html

=> s norcisapride

L1 3 NORCISAPRIDE

=> d tot

L1 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2006 ACS on STN

RN 202590-69-0 REGISTRY

ED Entered STN: 12 Mar 1998

CN Benzamide, 4-amino-5-chloro-2-methoxy-N-[(3S,4R)-3-methoxy-4-piperidinyl](9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzamide, 4-amino-5-chloro-2-methoxy-N-(3-methoxy-4-piperidinyl)-, cis-(+)-

OTHER NAMES:

CN (+)-Norcisapride

CN Ticalopride

FS STEREOSEARCH

MF C14 H20 Cl N3 O3

CI COM

SR CA

LC STN Files: ANABSTR, BIOSIS, CA, CAPLUS, IMSRESEARCH, TOXCENTER, USAN, USPAT2, USPATFULL

Absolute stereochemistry. Rotation (+).

15 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

15 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2006 ACS on STN

RN 186260-03-7 REGISTRY

ED Entered STN: 19 Feb 1997

CN Benzamide, 4-amino-5-chloro-2-methoxy-N-[(3R,4S)-3-methoxy-4-piperidinyl]-(9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzamide, 4-amino-5-chloro-2-methoxy-N-(3-methoxy-4-piperidinyl)-, cis-(-)-

OTHER NAMES:

CN (-)-Norcisapride

FS STEREOSEARCH

MF C14 H20 C1 N3 O3

SR CA

LC STN Files: BIOSIS, CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry. Rotation (-).

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 9 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 9 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L1 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2006 ACS on STN
- RN 83863-69-8 REGISTRY
- ED Entered STN: 16 Nov 1984
- CN Benzamide, 4-amino-5-chloro-2-methoxy-N-[(3R,4S)-3-methoxy-4-piperidinyl], rel- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzamide, 4-amino-5-chloro-2-methoxy-N-(3-methoxy-4-piperidinyl)-, cis-OTHER NAMES:

CN (±)-Norcisapride

CN Norcisapride

FS STEREOSEARCH

DR 86718-38-9

MF C14 H20 Cl N3 O3

SR European Union (EU)

LC STN Files: BIOSIS, CA, CAPLUS, CASREACT, CHEMCATS, CHEMLIST, CIN, IMSRESEARCH, PHAR, TOXCENTER, USPAT2, USPATFULL Other Sources: EINECS**

(**Enter CHEMLIST File for up-to-date regulatory information)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

39 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

39 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> sel rn 3 El THROUGH El ASSIGNED

=> fil hcapl medl biosis uspatf wpids COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION 10.98 11.19

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 09:12:36 ON 21 JUL 2006
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FILE 'USPATFULL' ENTERED AT 09:12:36 ON 21 JUL 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'WPIDS' ENTERED AT 09:12:36 ON 21 JUL 2006 COPYRIGHT (C) 2006 THE THOMSON CORPORATION

=> s e1

L2 52 83863-69-8/BI

=> dup rem 12

PROCESSING COMPLETED FOR L2

L3 45 DUP REM L2 (7 DUPLICATES REMOVED)

=> d ibib abs 40-45

L3 ANSWER 40 OF 45 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:406425 HCAPLUS

DOCUMENT NUMBER: 109:6425

TITLE: Preparation of 4-(aroylamino)-1-piperidinebutanamides

as antidiarrheal agents

INVENTOR(S): Van Daele, Georges Henri Paul; Vlaeminck, Freddy

Francois; De Cleyn, Michael Anna Jozef; De, Cleyn

Michael Anna Jozef

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: Eur. Pat. Appl., 29 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 251417	A2	19880107	EP 1987-201255	19870701
EP 251417		19890426		
EP 251417	В1	19930414		
R: AT, BE, CH,	DE, ES	, FR, GB,	GR, IT, LI, LU, NL, SE	
CA 1311755	A1	19921222		19870611
SU 1620049	A 3	19910107		19870629
DK 8703363	Α	19880104		
JP 63022575	A2	19880130	JP 1987-162509	19870701
JP 2512755	В2	19960703		
IL 83045	A1	19910718	IL 1987-83045	19870701
AT 88181	E	19930415	AT 1987-201255	19870701
ES 2054653	Т3	19940816	ES 1987-201255	19870701
FI 8702930	T3 A	19880104	FI 1987-2930	19870702
FI 90863	В	19931231		
NO 8702781	Α	19880104	NO 1987-2781	19870702
NO 171908	В	19930208		
NO 171908	С	19930519		
AU 8775067	A1	19880107	AU 1987-75067	19870702
AU 593660	B2	19900215		
ZA 8704810		19890222	ZA 1987-4810	19870702
HU 48588	A2	19890628	HU 1987-3002	19870702
HU 204254		19911230		
CN 87104641	Α	19880203	CN 1987-104641	19870703
US 4990521	Α	19910205		
PRIORITY APPLN. INFO.:			US 1986-882067	
			US 1987-57451	
			EP 1987-201255	A 19870701

OTHER SOURCE(S): MARPAT 109:6425

GΙ

AB The title compds. I [Ar = thienyl, furanyl, (un)substituted Ph, etc.; Ar1, Ar2 = Ph, halophenyl; R1 = H, alkyl, arylalkyl, alkanoyl, (un)substituted aminoalkyl; R2 = H, alkyl; R6, R7 = R2, CH2CH:CH2, PhCH2; NR6R7 = pyrrolidinyl, piperidinyl, morpholinyl, etc.; Z = CH2CH2, CH2CMe] were prepared trans-4-[(Phenylmethyl)amino]-3-piperidinol was refluxed with K2CO3 in Me2CHCH2COMe and the product refluxed 24 h with furanylidenemethanaminium bromide II to give piperidinebutanamide III (R = PhCH2). The latter was hydrogenolized to III (R = H) which was stirred overnight with 3-(F3C)C6H4COCl in CH2Cl2 containing Et3N to give III [R = 3-(F3C)C6H4CO] which had an ED50 of 0.15 mg/kg orally against ricinus

oil-induced diarrhea in rats with an oral ED50 of $>160~\mathrm{mg/kg}$ in the tail withdrawal test.

L3 ANSWER 41 OF 45 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:485653 HCAPLUS

DOCUMENT NUMBER: 109:85653

TITLE: Excretion and biotransformation of cisapride in rats

after oral administration

AUTHOR(S): Meuldermans, Willem; Hendrickx, Jan; Lauwers, William;

Hurkmans, Robert; Mostmans, Erik; Swysen, Eric; Bracke, Johan; Knaeps, Alfons; Heykants, Joseph

CORPORATE SOURCE: Dep. Drug Metab. and Pharmacokinet., Janssen Pharm.,

Beerse, B-2340, Belg.

SOURCE: Drug Metabolism and Disposition (1988), 16(3), 410-19

CODEN: DMDSAI; ISSN: 0090-9556

DOCUMENT TYPE: Journal LANGUAGE: English

The excretion and biotransformation of cisapride, a novel gastrokinetic drug, were studied after single (10, 40, and 160 mg/kg) and repeated (10 mg/kg/day) oral administration to rats, using 3 different radiolabels. In fasted rats, cisapride was absorbed almost completely, except for the 160 mg/kg dose. Cisapride was metabolized extensively to at least 30 metabolites. The excretion of the metabolites amounted to >80% of the dose at 24 h and was almost complete at 96 h after dosing. In bile duct-cannulated rats, 60% was excreted in the bile within 24 h, 45% of which underwent enterohepatic circulation. The main urinary metabolites, 4-fluorophenyl sulfate and norcisapride, primarily resulted from the N-dealkylation at the piperidine. Another major metabolic pathway was aromatic hydroxylation, occurring on either the 4-fluorophenoxy or the benzamide rings. The resulting phenolic metabolites were eliminated as conjugates in the bile; a large portion of them were subjected to a rapid enterohepatic circulation before their final excretion in the feces. Minor metabolic pathways included piperidine oxidation, O-dealkylation, O-demethylation of the methoxy substituent at the benzamide, and amine glucuronidation. Only minor quant. dose- and sex-dependent differences could be observed for the mass balance of the metabolites. Upon repeated oral dosing, steady state excretion rates were already attained after 2-3 doses, and excretion and metabolite patterns were very similar to those after single dose administration.

L3 ANSWER 42 OF 45 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:485652 HCAPLUS

DOCUMENT NUMBER: 109:85652

TITLE: Excretion and biotransformation of cisapride in dogs

and humans after oral administration

AUTHOR(S): Meuldermans, Willem; Van Peer, Achiel; Hendrickx, Jan;

Lauwers, William; Swysen, Eric; Bockx, Marc;

Woestenborghs, Robert; Heykants, Joseph

CORPORATE SOURCE: Dep. Drug Metab. and Pharmacokinet., Janssen Pharm.,

Beerse, B-2340, Belg.

Drug Metabolism and Disposition (1988), 16(3), 403-9 CODEN: DMDSAI; ISSN: 0090-9556

DOCUMENT TYPE: Journal LANGUAGE: English

SOURCE:

AB The excretion and biotransformation of cisapride, a novel gastrokinetic drug, were studied after a single oral dose of [14C]cisapride in dogs and humans. The excretion of radioactivity amounted to 97% within 4 days after a 1 mg/kg dose in dogs (72% in feces and 25% in urine). After a 10-mg dose in humans, 44% was excreted in the 0-24-h urine and 37% in the 0-35-h feces; excretion was complete within 4 days. Excretion of the parent drug was greater in dogs (0.4-1.3% of the dose in urine, 23% in feces) than in humans (0.2% in urine, 4-6% in feces). This was due, at least in part, to a larger proportion of amine glucuronidation and sulfation in dogs. N-Dealkylation at the piperidine N resulting in the

main urinary metabolite, norcisapride, and aromatic hydroxylation of the 4-fluorophenyl ring were major metabolic pathways in both species. Norcisapride excretion accounted for 14% of the dose in dogs and 41-45% in humans. Minor metabolic pathways were O-dealkylation at the 4-fluorophenoxy group and piperidine oxidation Peak plasma levels and area under the concentration-time curve values of norcisapride in humans were 8-9 times lower than those of cisapride. Apart from more amine conjugation in dogs, the biotransformation of cisapride was similar in dogs and humans.

L3 ANSWER 43 OF 45 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:510216 HCAPLUS

DOCUMENT NUMBER: 109:110216

TITLE: Synthesis of 3H- and 14C-cisapride

AUTHOR(S): Janssen, C. G. M.; Lenoir, H. A. C.; Thijssen, J. B.

A.; Knaeps, A. G.; Heykants, J. J. P.

CORPORATE SOURCE: Dep. Drug Metab. Pharmacokinet., Janssen Pharm.,

Beerse, B-2340, Belg.

SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals

(1987), 24(12), 1493-501

CODEN: JLCRD4; ISSN: 0362-4803

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 109:110216

GI

AB Title compds. I (X = C, R = H, R1 = T; R = T, R1 = H) and I (X = 14C, R = R1 = H) were prepared

L3 ANSWER 44 OF 45 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:583409 HCAPLUS

DOCUMENT NUMBER: 105:183409

TITLE: Synthesis of cisapride, a gastrointestinal stimulant

derived from cis-4-amino-3-methoxypiperidine

Ι

AUTHOR(S): Van Daele, Georges H. P.; De Bruyn, Marcel F. L.;

Sommen, François M.; Janssen, Marcel; Van Nueten, Jan M.; Schuurkes, Jan A. J.; Niemegeers, Carlos J. E.;

Leysen, Josee E.

CORPORATE SOURCE: Dep. Chem. Res., Janssen Pharm. Res. Lab., Beerse,

B-2340, Belg.

Ι

SOURCE: Drug Development Research (1986), 8(1-4), 225-32

CODEN: DDREDK; ISSN: 0272-4391

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 105:183409

GΙ

$$R^1N$$

OR

OR

C1

NH2

MeO

AB A series of cis- and trans-4-amino-3-methoxypiperidinebenzamides (I; R1 = PhCH2, 4-FC6H4O(Me)2, MeO(CH2)3, Et, etc.) were prepared and tested for dopamine antagonist as well as gastric contraction stimulatory activities in exptl. animals. Several of the prepared compds. stimulated gastric motility without having dopamine antagonist activity. Structure-activity relationship is discussed.

L3 ANSWER 45 OF 45 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1983:594812 HCAPLUS

DOCUMENT NUMBER: 99:194812

TITLE: N-(3-Hydroxy-4-piperidinyl)benzamide derivatives

INVENTOR(S): Van Daele, Georges

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: Eur. Pat. Appl., 137 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 76530	A2	19830413	EP 1982-201080	19820903	
EP 76530	A3	19830803			
EP 76530	B1	19851211			
R: AT, BE, CH,	DE, FR	, GB, IT,	LI, LU, NL, SE		
CA 1183847	A1	19850312	CA 1982-409480	19820816	
AT 16928	E	19851215	AT 1982-201080	19820903	
SU 1593569	A3	19900915	SU 1982-3489954	19820910	
RO 84704	P	19840717	RO 1982-108663	19820921	
CZ 280009	В6	19950913	CZ 1982-6821	19820923	
SK 278380	В6	19970205	SK 1982-6821	19820923	
DD 203048	A5	19831012	DD 1982-243524	19820927	
DK 8204351	Α	19830402	DK 1982-4351	19820930	
DK 165365	В	19921116			
DK 165365	С	19930405			
FI 8203348	A	19830402	FI 1982-3348	19820930	
FI 78073	В	19890228			
FI 78073	С	19890612			
NO 8203297	Α	19830405	NO 1982-3297	19820930	
NO 159378	В	19880912			
NO 159378	С	19881221			
AU 8288925	A1	19830414	AU 1982-88925	19820930	
AU 553845	B2	19860731			
HU 27373	0	19831028	HU 1982-3147	19820930	
HU 189629	В	19860728			
ES 516131	A 1	19831101	ES 1982-516131	19820930	
ZA 8207194	Α	19840530	ZA 1982-7194	19820930	
IL 66916	A1	19850929	IL 1982-66916	19820930	
JP 58090552	A2	19830530	JP 1982-171112	19821001	
JP 02045625	B4	19901011			
PL 138053	B1	19860830	PL 1982-238469	19821001	
PL 138475	B1	19860930	PL 1982-245223	19821001	
ES 542439	A3	19851216	ES 1985-542439	19850422	
US 4962115	Α	19901009	US 1989-443060	19891128	
US 5057525	Α	19911015	US 1990-535939	19900611	
US 5137896	Α	19920811	US 1991-748227	19910820	
PRIORITY APPLN. INFO.:			US 1981-307409	A 19811001	
			US 1982-403603	A 19820730	
			EP 1982-201080	A 19820903	
			US 1984-631526	B1 19840718	
			US 1988-258310	B1 19881017	

$$\begin{array}{c|c}
 & \text{OR}^1 \\
 & \text{NR}^2 \text{COR}^3
\end{array}$$

Piperidinylbenzamides I [R = alkoxycarbonyl, (un)substituted alkyl, cycloalkyl, aralkyl, etc.; R1 = H, alkyl, aralkyl, aminoalkyl, alkylcarbonyl; R2 = H, alkyl; R3 = (un)substituted Ph] (244 compds.) were prepared Thus, cis-I [R = R2 = H, R1 = Me, R3 = 5,4,2-Cl(H2N) (MeO)C6H2] was treated with 4-FC6H4O(CH2)3Cl to give 42.8% cis-I [R = 4-FC6H4O(CH2)3, R1 = Me, R2 = H, R3 = 5,4,2-Cl(H2N) (MeO)C6H2] (II). II had a min. effective concentration of 0.00016 mg/L for stimulation of contraction of isolated guinea pig ileum.

=> d ibib abs 1

L3 ANSWER 1 OF 45 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:272735 HCAPLUS

DOCUMENT NUMBER: 144:305166

TITLE: Selective serotonin reuptake inhibitors used in

combination with 5-HT4 receptor agonists,

pharmaceutical compositions, and therapeutic uses

INVENTOR(S): Debonnel, Guy; Lucas, Guillaume

PATENT ASSIGNEE(S): McGill University, Can.

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.			KIN	D	DATE APPLICATION NO.			DATE									
WO 2006029520			A1 20060323			1	WO 2005-CA1401					20050914					
	W:	ΑE,	ΑG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	ΚP,	KR,	ΚZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,
		NG,	NI,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
		ZA,	ZM,	zw													
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ТJ,	TM										

PRIORITY APPLN. INFO.:

US 2004-609275P P 20040914

AB The invention discloses a combination of a serotonin selective reuptake inhibitor (SSRI) and an agonist of the serotonin 4 (5-HT4) receptor to augment and/or provide faster onset of the therapeutic effect of the SSRI alone or administered with any other compound which causes an elevation in the level of extracellular serotonin (5-HT). The invention also discloses a pharmaceutical formulation comprising the combination, as well as a

method and use of the combination in the treatment of depression, anxiety, obsessive compulsive disorder (OCD) or other disease or disorder responsive to a SSRI.

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s serotonin reuptake inhibitor?

L4 16050 SEROTONIN REUPTAKE INHIBITOR?

=> s prokinetics or motility

L5 160065 PROKINETICS OR MOTILITY

=> s 14 and 15

L6 389 L4 AND L5

=> s 14 (S) 15

L7 48 L4 (S) L5

=> dup rem 17

PROCESSING COMPLETED FOR L7

L8 45 DUP REM L7 (3 DUPLICATES REMOVED)

=> d ibib abs 41-45

L8 ANSWER 41 OF 45 USPATFULL on STN

ACCESSION NUMBER: 1998:42357 USPATFULL

TITLE: Compounds having effects on serotonin-related systems INVENTOR(S): Hibschman, David J., Bargersville, IN, United States

Krushinski, Jr., Joseph H., Indianapolis, IN, United

States

Rasmussen, Kurt, Fishers, IN, United States

Rocco, Vincent P., Indianapolis, IN, United States Schaus, John M., Zionsville, IN, United States

Thompson, Dennis C., Indianapolis, IN, United States

PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States

(U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 5741789 19980421
APPLICATION INFO.: US 1995-467434 19950606 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1995-373823, filed

on 17 Jan 1995, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted

PRIMARY EXAMINER: Shah, Mukund J. ASSISTANT EXAMINER: Kifle, Bruck

LEGAL REPRESENTATIVE: Palmberg, Arleen, Boone, David E.

NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
LINE COUNT: 5902

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A series of hetero-oxy alkanamines are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1.sub.A receptor. The compounds are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 42 OF 45 USPATFULL on STN ACCESSION NUMBER: 97:38539 USPATFULL

TITLE: Compounds having effects on serotonin-related systems INVENTOR(S):

Audia, James E., Indianapolis, IN, United States Hibschman, David J., Bargersville, IN, United States Krushinski, Jr., Joseph H., Indianapolis, IN, United

Mabry, Thomas E., Indianapolis, IN, United States Nissen, Jeffrey S., Fishers, IN, United States Rasmussen, Kurt, Fishers, IN, United States

Rocco, Vincent P., Indianapolis, IN, United States Schaus, John M., Zionsville, IN, United States Thompson, Dennis C., Indianapolis, IN, United States

Wong, David T., Indianapolis, IN, United States

PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States

(U.S. corporation)

NUMBER KIND DATE

US 5627196 19970506 US 1995-468948 19950606 (8) PATENT INFORMATION: APPLICATION INFO.:

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1995-373823, filed

on 17 Jan 1995, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Shah, Mukund J. ASSISTANT EXAMINER: Bottino, Anthony

LEGAL REPRESENTATIVE: Jones, Joseph A., Boone, David E.

which serotonin reuptake inhibitors are used.

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 5947

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A series of hetero-oxy alkanamines are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1.sub.A receptor. The compounds are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 43 OF 45 USPATFULL on STN

ACCESSION NUMBER: 97:25037 USPATFULL

Compounds having effects on serotonin-related systems TITLE:

INVENTOR(S): Audia, James E., Indianapolis, IN, United States Krushinski, Jr., Joseph H., Indianapolis, IN, United

States

Rasmussen, Kurt, Fishers, IN, United States Rocco, Vincent P., Indianapolis, IN, United States Schaus, John M., Zionsville, IN, United States

Thompson, Dennis C., Indianapolis, IN, United States Wong, David T., Indianapolis, IN, United States

PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States

(U.S. corporation)

NUMBER KIND DATE -----US 5614523 US 1995-470512 PATENT INFORMATION: 19970325

APPLICATION INFO.: 19950606 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1995-373823, filed

on 17 Jan 1995, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Shah, Mukund J. ASSISTANT EXAMINER: Bottino, Anthony

LEGAL REPRESENTATIVE: Jones, Joseph A., Boone, David E.

NUMBER OF CLAIMS: 19 EXEMPLARY CLAIM: 1

LINE COUNT: 5755

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A series of hetero-oxy alkanamines are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1.sub.A receptor. The compounds are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 44 OF 45 USPATFULL on STN

ACCESSION NUMBER: 96:106493 USPATFULL

TITLE: Compounds having effects on serotonin-related systems INVENTOR(S): Krushinski, Jr., Joseph H., Indianapolis, IN, United

Rasmussen, Kurt, Fishers, IN, United States

Rocco, Vincent P., Indianapolis, IN, United States Schaus, John M., Zionsville, IN, United States

Thompson, Dennis C., Indianapolis, IN, United States

PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States

(U.S. corporation)

NUMBER KIND DATE

US 5576321 19961119 US 1995-468900 19950606 PATENT INFORMATION: APPLICATION INFO.: 19950606 (8)

Continuation-in-part of Ser. No. US 1995-373823, filed RELATED APPLN. INFO.:

on 17 Jan 1995, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Shah, Mukund J. ASSISTANT EXAMINER: Bottino, Anthony

LEGAL REPRESENTATIVE: Jones, Joseph A., Boone, David E.

NUMBER OF CLAIMS: 14 EXEMPLARY CLAIM: 1 LINE COUNT: 5725

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A series of hetero-oxy alkanamines are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1.sub.A receptor. The compounds are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 45 OF 45 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 1987-073959 [11] WPIDS

DOC. NO. CPI: C1987-030780

TITLE: New substd. hexa hydro-aryl quinolizine cpds. - with

selective alpha-2 adrenergic receptor antagonist

activity, useful as antidepressants, antihypertensives,

etc..

DERWENT CLASS:

BALDWIN, J J; GUARE, J P; HUFF, J R; SAKURAI, Y; VACCA, J INVENTOR(S):

PATENT ASSIGNEE(S): (MERI) MERCK & CO INC

COUNTRY COUNT:

PATENT INFORMATION:

PATENT NO KIND DATE WEEK PG LA

EP	214556		Α	19870318	(198711)*	EN	46
	R: CH DI	FR	GB	IT LI NL			
JP	62111986		Α	19870522	(198726)		
US	4686226		Α	19870811	(198734)		13
ΕP	214556		В	19901122	(199047)		
	R: CH DI	FR	GB	IT LI NL			
DE	3675714		G	19910103	(199102)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
EP 214556	А	EP 1986-111890	19860828
JP 62111986	A	JP 1986-206066	19860903
US 4686226	A	US 1985-771927	19850903

PRIORITY APPLN. INFO: US 1985-771927 19850903

AN 1987-073959 [11] WPIDS

AB EP 214556 A UPAB: 19930922

Cpds. of formula (I) and slats are new where Ar is X,Y-benzo-, X,Y-benzo(b) furo-, X,Y-benzo(b) thieno-, pyridino-, thiazolo-, imidazo-, pyrazolo-, thieno- or furo-; X and Y are each H, halogen, OH, 1-3C alkoxy or 1-6C alkyl; R is COOR1, -CR1R4Z, -CR1 = Q or a gp. of formula (II); R1 is H or opt. branched 1-5C alkyl; R2 is H, 1-5C alkyl or 1-5C alkylidene; Q is O or =N-OR1; Q1 is COOR1, SO2R1 or SO2NR1'R2'; R1' and R2' are each R1 and R2 respectively, or together complete a 5- or 6-membered heterocycle opt. containing further heteroatoms; Z is OR3, SR3 or NR2R3; R3 is H, 1-5C alkyl (opt. substd.by OH, COOR1, SO2R2 or -NR2-SO2R2), COOR2, SO2R2 or SO2NR2R3; R4 is 1-5C alkyl or 1-5C alkylidene; and the broken lines are opt. double bonds.

USE/ADVANTAGE - (I) are selective alpha2-adrenoceptor antagonists, useful as e.g. antidepressants, antihypertensives, ocular antihypertensives, antidiabetic or antiobesity agents, as platelet aggregation inhibitors or modifiers of gastrointestinal motility. Doses are e.g. 0.01-20, pref. 0.1-10 mg/kg/day, opt. divided. For treating depression, (I) may be co-administered with other antidepressants, e.g. anitriptylene, imipramine or other norepinephrine or serotonin reuptake inhibitor or a monoamine oxidase inhibitor.

ABEO EP 214556 B UPAB: 19930922

Cpds. of formula (I) and slats are new where Ar is X,Y-benzo-, X,Y-benzo(b) furo-, X,Y-benzo(b) thieno-, pyridino-, thiazolo-, imidazo-, pyrazolo-, thieno- or furo-; X and Y are each H, halogen, OH, 1-3C alkoxy or 1-6C alkyl; R is COOR1, -CR1R4Z, -CR1 = Q or a gp. of formula (II); R1 is H or opt. branched 1-5C alkyl; R2 is H, 1-5C alkyl or 1-5C alkylidene; Q is O or =N-OR1; Q1 is COOR1, SO2R1 or SO2NR1'R2'; R1' and R2' are each R1 and R2 respectively, or together complete a 5- or 6-membered heterocycle opt. contg. further heteroatoms; Z is OR3, SR3 or NR2R3; R3 is H, 1-5C alkyl (opt. substd.by OH, COOR1, SO2R2 or -NR2-SO2R2), COOR2, SO2R2 or SO2NR2R3; R4 is 1-5C alkyl or 1-5C alkylidene; and the broken lines are opt. double bonds.

USE/ADVANTAGE - (I) are selective alpha2-adrenoceptor antagonists, useful as e.g. antidepressants, antihypertensives, ocular antihypertensives, antidiabetic or antiobesity agents, as platelet aggregation inhibitors or modifiers of gastrointestinal motility. Doses are e.g. 0.01-20, pref. 0.1-10 mg/kg/day, opt. divided. For treating depression, (I) may be co-administered with other antidepressants, e.g. anitriptylene, imipramine or other norepinephrine or serotonin reuptake inhibitor or a monoamine oxidase inhibitor.

0/0

ABEQ US 4686226 A UPAB: 19930922

Substd. hexahydrobenzo (b) furo-and thieno-quinolizines and salts of formula (I) are new. In (I), Ar is X, Y-benzol (B)-furo-and -thieno-: X and Y are each H, halo, OH, 1-3C alkoxy, 1-6C alkyl; R is -COOR1 where R1 is H, 1-5C alkyl, R2-C (=) COOR1, with R2 is H, 1-5C alkyl or alkylidene; R2-C (=) SO2R1, R2-C (=) SO2NR1R2, where R1 and R2 may be joined to form pyrrolidine or piperidine, R1C (-) = Q where Q is O or NOR1, -CR1R4Z where Z is OR3, SR3, NR2R3; R4 is 1-5C alkyl or -alkylidene.

(I) may be prepd. e.g. by Wittig reaction of 2-oxo-quino-lizine with KH or nBuLi etc. and opt. PdC/H2 redn. to satd. analogues.

USE - (I) are selective alpha2-adrenoreceptor antagonists used to block norepinephrine and/or serotonin neuronal reuptake in cns. and increase their availability as neurotransmitters. Used to treat depression, hypertension, diabetes, obesity, to inhibit platelet aggregation and modify G.I. motility. Dosage is e.g. $0.01 - 20 \ (0.1-10) \ mg/kg/day$.

=> log h		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	61.61	72.80
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-5.25	-5.25

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 09:21:21 ON 21 JUL 2006